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PATENT COOPERATION TREAD

REC'D 1,2 JAN 2005

WIPO - PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Ann	llacation		and the reference					
Applicant's or agent's file reference PH0274-PCT				FOR FURTHER A	R ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)			
International application No. PCT/GB 03/04026				International filing date 18.09.2003	e (day/mon	th/year)	Priority date (day/monthlyear) 27.09.2002	
	International Patent Classification (IPC) or both national classification and IPC C07B59/00							
	Applicant HAMMERSMITH IMANET LTD.							
1.	 This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36. 							
2.	This REPORT consists of a total of 7 sheets, including this cover sheet.							
	This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).							
	The		nexes consist of a total of				,	
3.	3. This report contains indications relating to the following items:							
	1	⊠	Basis of the opinion					
	11		Priority					
	111	☒			novelty, in	ventive step a	nd industrial applicability	
	IV	\boxtimes	Lack of unity of inventi-					
	V 🖾 Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement							
	VI		Certain documents cite	ed				
	VII		Certain defects in the i					
	VIII		Certain observations o	n the international app	lication			
Date	Date of submission of the demand					completion of this	s report	
•						on producti of and		
04.0	04.03.2004				13.01.2005			
Name	Name and mailing address of the international preliminary examining authority:				Authorized Officer			
European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016				ıs	Dieder	en, J ne No. +31 70 34	10-1097	
				•) cebuoi	10 1NO. TO 1 / U 34	-0-1031	

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/GB 03/04026

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1. With regard to the **elements** of the international application (Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)):

	Description, Pages								
	1-9		as originally filed						
	Clai	ms, Numbers							
	1-10)	as originally filed						
2.	 With regard to the language, all the elements marked above were available or furnished to this Authority in language in which the international application was filed, unless otherwise indicated under this item. 								
These elements were available or furnished to this Authority in the following language: , which									
		the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).							
		the language of publi	cation of the international application (under Rule 48.3(b)).						
		the language of a train Rule 55.2 and/or 55.3	nslation furnished for the purposes of international preliminary examination (under 3).						
3.	With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:								
		contained in the inter	national application in written form.						
		filed together with the	e international application in computer readable form.						
		furnished subsequen	tly to this Authority in written form.						
		furnished subsequen	tly to this Authority in computer readable form.						
		The statement that the international ap	ne subsequently furnished written sequence listing does not go beyond the disclosure oplication as filed has been furnished.						
		The statement that the listing has been furnite	ne information recorded in computer readable form is identical to the written sequence shed.						
4.	The	amendments have re	esulted in the cancellation of:						
		the description,	pages:						
		the claims,	Nos.:						
		the drawings,	sheets:						
5.		This report has been been considered to g	established as if (some of) the amendments had not been made, since they have to beyond the disclosure as filed (Rule 70.2(c)).						
		(Any replacement sh report.)	eet containing such amendments must be referred to under item 1 and annexed to this						
6.	Add	itional observations, i	f necessary:						

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II	l. No	n-establishment of opinion with regard to novelty, inventive step and industrial applicability			
 The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of: 					
		the entire international application,			
	\boxtimes	claims Nos. 8			
		because:			
		the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):			
		the description, claims or drawings (indicate particular elements below) or said claims Nos. are so unclear that no meaningful opinion could be formed (specify):			
		the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.			
	\boxtimes	no international search report has been established for the said claims Nos. 8			
A meaningful international preliminary examination cannot be carried out due to the failure of the or amino acid sequence listing to comply with the standard provided for in Annex C of the Admir Instructions:					
		the written form has not been furnished or does not comply with the Standard.			
		the computer readable form has not been furnished or does not comply with the Standard.			
ΙV	. Lac	k of unity of invention			
1.	In re	esponse to the invitation to restrict or pay additional fees, the applicant has:			
		restricted the claims.			
		paid additional fees.			
		paid additional fees under protest.			
		neither restricted nor paid additional fees.			
2.	⊠	This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.			
3.	This is	Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3			

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

not complied with for the following reasons:

☐ complied with.

all parts.

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☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Yes: Claims

1-7,9,10

No: Claims

Inventive step (IS)

Yes: Claims

1-6,9,10

7

No: Claims

Yes: Claims

1-7,9,10

No: Claims

2. Citations and explanations

Industrial applicability (IA)

see separate sheet

EXAMINATION REPORT - SEPARATE SHEET

Reference is made to the following documents:

- D1: J. VCELAK ET AL.: "The exchange of fluorine in (gammafluoropropyl)trialkylsilanes and n-heptyl fluoride for halogens of grignard reagents" COLLECTION CZECHOSLOV. CHEM. COMMUN., vol. 41, 1976, pages 131-9, XP0008028871
- D2: J. VCELAK ET AL.: "19F-NMR spectra of (Fluoroalkyl)-Substituted Silanes" COLLECTION CZECHOSLOV. CHEM. COMMUN., vol. 41, 1976, pages 386-390, XP0008028870
- D3: V.B. PUCHNAREVIC ET AL.: "Synthesis of gamma-fluoropropyl Substituted Silanes" COLLECTION CZECHOSLOV. CHEM. COMMUN., vol. 39, 1974, pages 2616-2620, XP0008028872
- D4: JP 02 290885 A (SHIN ETSU CHEM CO. LTD) 30 November 1990 (1990-11-30)

Re Item IV

Q

Lack of unity of invention

The present application deals with two different problems and two different solutions. One problem is the provision of a process to prepare compounds of Formula (I). The solution proposed by the applicant is a reaction of compounds of Formula (II) or (IIa) with a compound of Formula (III) to yield compounds of Formula (I). For this process compounds (II) and (IIa) are needed and claimed and of which compounds (II) are not novel (see D1-D4). The second problem is the provision of a process for the preparation of known compounds (II) and (IIa). The solution as proposed by the applicant is a reaction of compounds of Formula (IV) with a source of fluoride to yield compounds of Formula (II) or (IIa). For this process compounds of Formula (IV) are needed and are also claimed.

The subjects of this application are therefore as follows:

- 1. Process for the preparation of a fluorohaloalkane of Formula (I) and (Ia) by reaction of compound (II) (or IIa) with (III); compounds of formula (II) and (Iia) (Claims 1-6,8,9)
- 2. Process for the preparation of compounds of Formula (II) or (IIa) by reaction of compounds of Formula (IV) with a source of F-; compounds of Formula (IV) (Claims 7,10)

EXAMINATION REPORT - SEPARATE SHEET

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claim 7 does not involve an inventive step in the sense of Article 33(3) PCT.

Claim 7 of the present application concerns a process for the preparation of formula (II) or (lia) as defined in claim 1 or 2 by reaction of a compound of formula (IV) with a source of F in the presence of a phase transfer catalyst.

Documents D1-D4 all describe reactions of compounds of Formula (II) with a source of F. This shows that compounds of Formula (II) are not novel.

Document D1 describes in the experimental part the synthesis of (gammafluoropropyl)triethylsilane by reaction of (gamma-chloropropyl)triethylsilane with potassium fluoride.

Document D2 describes on page 387, the synthesis of fluoromethyltrimethylsilane by reaction of (tosylatemethyl)trimethylsilane with potassium fluoride. On the same page of D2, the synthesis of (epsilon-fluoropentyl)trimethylsilane is described by reaction of fluorination of (epsilon-hydroxypentyl)trimethylsilane with Yarovenko reagent (CFCIHCF, N(C, H₅),

Document D3 discloses on page 2619 the synthesis of (gammafluoropropyl)trimethylsilane by reaction of Yarovenko reagent with (gammahydroxypropyl)trimethylsilane.

Document D4 discloses the preparation of compounds of Formula I (R1R2R3SiCH2F) by reaction of compounds of Formula (III) (R1R2R3SiCH2CI with a source of fluoride (e.g. CsF, KF).

The difference of these disclosures with the subject-matter of claim 7 is the fact that in claim 7 a phase transfer catalyst is used. A skilled person, starting from documents D1, D2, D3 or D4 would immediately recognise that in the presence of a phase transfer catalyst, substitution reactions with these polar compounds (e.g. KF) would be



facilitated as it is general knowledge that such polar compounds do not dissolve very well in organic solvents and that in the presence of such phase transfer catalysts the polar compounds are more susceptible for organic reactions. A skilled person would therefore use phase transfer catalysts in this type of reaction without the use of an inventive skill. It is therefore concluded that the subject-matter of claim 7 is not considered to involve an inventive step over the prior art with respect to Article 33(3) PCT.